Docket No.:1103326-0784

Page 2 of 9

10/518300 DT01 Rec'd PCT/PTC 17 DEC 2004

## Amendments to the Claims

The following listing of claims will replace all prior versions and listings of claims in the application.

1. (Currently Amended) A process for preparing  $\underline{a}$  the compound of formula (1)

$$X \xrightarrow{CO_2R} Y_1$$

$$Y_2$$

$$(1)$$

wherein  $X_{\underline{,}}$  and/or  $Y_{1,\underline{,}}$  and and/or  $Y_{2}$  are independently H, cyano, nitro, trifluoromethoxy, trifluoromethyl, alkoxy, or alkyl, and R is H or alkyl, wherein either

dehalogenation followed by carboxylation of a compound of formula (12)

$$X \xrightarrow{\text{Hal} \\ Y_1 \\ Y_2} CN$$

wherein X,  $Y_1$  and  $Y_2$  are as defined above, and Hal is Br, I or  $\text{Cl}_{\underline{\textbf{\textit{i}}}}$ 

or

b) (in the case where when R=H or alkyl,) by the process comprises palladium-mediated carbonylation of a compound of

Docket No.:1103326-0784

Page 3 of 9

formula (12)

with the proviso that the compound 1-iodo-3-cyano-2-methoxynaphthalene is excluded, followed by solvolysis of the carbonylated compound.

- 2. (Currently Amended) A The process for preparing the compound of formula (1) according to claim 1 wherein, characterized in that step a) is carried out by treatment of the compound of formula (12) with an alkyl-lithium reagent followed by reaction of the lithiated intermediate with carbon dioxide and then acidification.
- 3. (Currently Amended) The A compound of formula (12),

$$X \xrightarrow{\text{Hal}} Y_1$$

$$Y_2$$

$$Y_2$$

$$Y_2$$

wherein  $X_{\underline{f}}$  and/or  $Y_1$  and and/or  $Y_2$  are independently H, cyano, nitro, trifluoromethoxy, trifluoromethyl, alkoxy, or alkyl and Hal is Br, I or Cl, with the proviso that the compounds 1-iodo-3-cyano-2-methoxynaphthalene and 1-chloro-3-cyano-2-methoxynaphthalene are excluded.

-3-

NEWYORK 4494386 v1 (2K)

Docket No.:1103326-0784

Page 4 of 9

4. (Currently Amended) A The process according to claim 1, for preparing a compound of formula (12,  $Y_1=Y_2=X=H$ ),

$$(12, Y_1=Y_2=X=H)$$

wherein Hal is Br, I or Cl,

## wherein the process comprises a reaction sequence selected from the group consisting of:

## (i) by

- (a) treating malic acid (7) with <u>a oleum or alternative</u> strongly acid dehydrating media to give coumalic acid (8);
- (b) esterifying coumalic acid (8) to give a pyrone ester (9);
- (c) brominating the pyrone ester (9) to give a 3-bromo coumalic ester (10);
- (d) reacting the 3-bromo coumalic ester (10) with in situ generated benzyne followed by decarboxylation to give a bromonaphthoate (11); and
- (e) <u>converting the converting/transforming</u> bromonaphthoate <del>(11)</del> to 1-bromo-3-cyano naphthalene; <del>(12, Y<sub>1</sub>=Y<sub>2</sub>=X=H)</del>

## by

(a) treating malic acid (7) with <u>a</u> oleum or alternative strongly acid dehydrating media to give coumalic acid (8);

Docket No.:1103326-0784

Page 5 of 9

(b) converting coumalic acid (8) into coumalonitrile (25) and subsequently brominating to give 3-bromo-5-coumalonitrile (27); and then

(c) converting 3-bromo-5-coumalonitrile  $\frac{(27)}{(27)}$  into 1-bromo-3-cyano naphthalene  $\frac{(12, Y_1=Y_2=X=H)}{(27)}$ 

by cycloaddition of *in situ* generated benzyne, followed by subsequent decarboxylation

er and (iii)

by

(a) 1a) cyanation of 1,2,3,4-tetrahydronaphthalene followed by bromination to give compound (63)

or

(b) 1b) bromination of 1,2,3,4-tetrahydronaphthalene followed by cyanodebromination, followed by bromination to give the compound of formula (63); or

(c) 1e) bromination of 1,2,3,4-tetrahydronaphthalene followed by carboxylation followed by conversion to the 6-cyano-1,2,3,4-tetrahydronaphthalene followed by bromination to give compound (63); followed by

<u>c1)</u> 2) oxidative aromatization of compound (63) into 1-bromo-3-cyano naphthalene  $\frac{(12, Y_1 = Y_2 = X = H)}{}$ .

Docket No.:1103326-0784

Page 6 of 9

5. (Currently Amended) A The process according to claim 4, wherein the reaction of the ester with benzyne in step (d) of process (i) generates an intermediate having structure (15) characterized in that in process (i) step (d) is the reaction of the ester with benzyne carried out by reacting a 3 bromo coumalic ester (10) with in situ generated benzyne to give an intermediate (15)

which intermediate is decarboxylated followed by decarboxylation to give the a bromonaphthoate (11).

- 6. (Currently Amended) A The process according to claim 4, wherein characterized in that in process (i) step (e) of process (i) is carried out by means selected from the group consisting of: either
- e1) reaction of the bromonaphthoate compound (11) with ammonia to give compound (18)

(18)

followed by dehydration to give the cyano compound; (12)

Docket No.:1103326-0784

Page 7 of 9

e2) reaction of the bromonaphthoate compound (11) with <a href="hydroxylamine">hydroxylamine</a> hydroxylamine hydroxylamin or a salt thereof to give compound (20);

(20)

followed by dehydration to give the cyano compound: (12) and ex

- e3) adding a solution of Me<sub>2</sub>AlNH<sub>2</sub> to a solution of the
  bromonaphthoate compound in a high-boiling solvent and heating
  the mixture to reflux, followed by rapid conversion to the cyano
  compound direct conversion of compound (11) to compound (12).
- 7. (Currently Amended) The compound of formula (20)

8. (Currently Amended) The compound of formula (18)

(18).

Docket No.:1103326-0784

Page 8 of 9

9. (Currently Amended) <u>The compound which is</u> 3-bromo-5-coumalonitrile. <del>(27)</del>

10. (Currently Amended) The compound of formula (63)

- 11. (New) The process according to claim 4, wherein the strongly acid dehydrating media is oleum.
- 12. (New) The process according to claim 6, wherein the highboiling solvent is m-xylene.
- 13. (New) The bromonaphthoate compound of formula (11),

(11)